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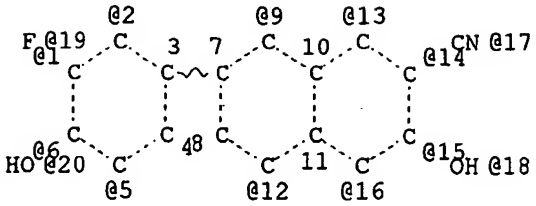


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                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 149.35     149.56

FILE 'CAPLUS' ENTERED AT 09:23:34 ON 08 DEC 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 8 Dec 2003 VOL 139 ISS 24
FILE LAST UPDATED: 7 Dec 2003 (20031207/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L5 1 L4

=> d bib abs hitstr

L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:491155 CAPLUS
DN 139:69062
TI Substituted phenyl naphthalenes active as estrogenic agents, their preparation, pharmaceutical compositions, and use
IN Mewshaw, Richard Eric; Edsall, Richard James; Yang, Cuijian; Harris, Heather Anne; Keith, James Carl, Jr.; Albert, Leo Massillamoney
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

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PI	WO 2003051805	A2	20030626	WO 2002-US39883	20021212
	WO 2003051805	A3	20030904		
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OS MARPAT 139:69062
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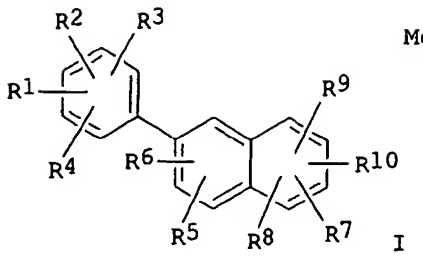

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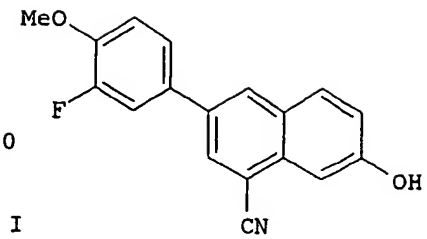

II

AB This invention provides estrogen receptor modulators I [wherein: R1, R2, R3, R4 = H, OH, alkyl, alkoxy, or halo; R5, R6, R7, R8, R9, R10 = H, alkyl, alkenyl, alkynyl, halo, alkoxy, cyano, CHO, Ph, 5- or 6-membered heterocycle with 1-4 N/O/S atoms(s); alkyls or alkenyls of R5-R10 may bear OH, cyano, halo trifluoroalkyl, trifluoroalkoxy, NO2, or Ph; Ph of R5-R10 may be mono-, di-, or trisubstituted with alkyl, alkenyl, halo, OH, alkoxy, cyano, NO2, (di)(alkyl)amino, thio, alkylthio, alkylsulfinyl, alkylsulfonyl, alkoxycarbonyl, alkylcarbonyl, or benzoyl; with the proviso that at least one of R1, R2, R3, R4, R7, R8, R9, or R10 = OH; or a pharmaceutically acceptable salt]. The compds. bind to both subtypes of estrogen receptors (ER.alpha. and ER.beta.), although in general they are selective for ER.beta.. Approx. 45 invention compds. were prepd. and/or claimed individually. For instance, cyanation of 7-methoxy-1-tetralone with TMS-CN and dehydrogenation with Pd/C in p-cymene gave 7-methoxy-1-naphthonitrile. This compd. underwent O-demethylation with pyridine-HCl, and bromination in the 3-position by treatment with Br2 and then SnCl2. Arylation of the obtained 3-bromo-7-hydroxy-1-naphthonitrile using 3-fluoro-4-methoxyphenylboronic acid and Pd(PPh3)4 gave invention compd. II. In a test for binding to human recombinant estrogen receptors in vitro, II bound to ER.alpha. and ER.beta. with IC50 values of 0.208 nM and 0.0028 nM, resp. Compds. I also showed ER.beta. activity by upregulation of metallothionein II mRNA levels in Saos-2 cells. In rat and mouse uterotrophic tests, II gave approx. 10% increase in mean uterine wt., vs. over 400% increase for either 17.alpha.-ethinyl-17.beta.-estradiol or 17.beta.-estradiol.

IT **550997-55-2P**, 3-(3-Fluoro-4-hydroxyphenyl)-7-hydroxy-1-naphthonitrile **550997-57-4P**, 3-(3,5-Difluoro-4-hydroxyphenyl)-7-hydroxy-1-naphthonitrile **550997-67-6P**, 8-Chloro-3-(3-fluoro-4-hydroxyphenyl)-7-hydroxy-1-naphthonitrile
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of substituted phenylnaphthalenes as estrogenic agents)

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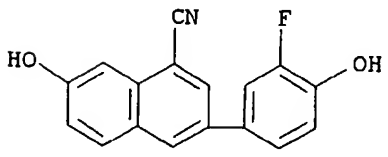

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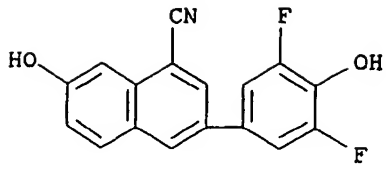

RN 550997-67-6 CAPLUS
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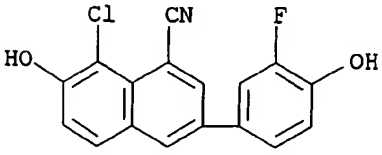

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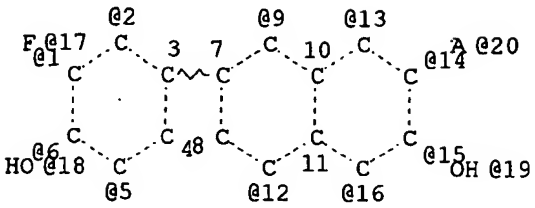

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VPA 19-9/13/14/15/16/12 U
VPA 17-2/1/6/5 U
VPA 18-2/1/6/5 U
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 6 7
NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

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L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:491155 CAPLUS
DN 139:69062
TI Substituted phenyl naphthalenes active as estrogenic agents, their preparation, pharmaceutical compositions, and use
IN Mewshaw, Richard Eric; Edsall, Richard James; Yang, Cuijian; Harris, Heather Anne; Keith, James Carl, Jr.; Albert, Leo Massillamoney
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	WO 2003051805	A3	20030904		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	US 2001-341441P	P	20011213		

OS MARPAT 139:69062
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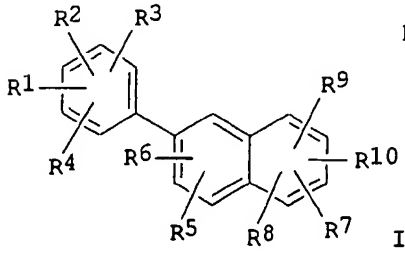

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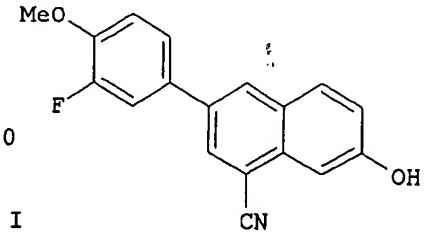

II

AB This invention provides estrogen receptor modulators I [wherein: R1, R2, R3, R4 = H, OH, alkyl, alkoxy, or halo; R5, R6, R7, R8, R9, R10 = H, alkyl, alkenyl, alkynyl, halo, alkoxy, cyano, CHO, Ph, 5- or 6-membered heterocycle with 1-4 N/O/S atoms(s); alkyls or alkenyls of R5-R10 may bear OH, cyano, halo trifluoroalkyl, trifluoroalkoxy, NO2, or Ph; Ph of R5-R10 may be mono-, di-, or trisubstituted with alkyl, alkenyl, halo, OH, alkoxy, cyano, NO2, (di)(alkyl)amino, thio, alkylthio, alkylsulfinyl, alkylsulfonyl, alkoxycarbonyl, alkylcarbonyl, or benzoyl; with the proviso that at least one of R1, R2, R3, R4, R7, R8, R9, or R10 = OH; or a pharmaceutically acceptable salt]. The compds. bind to both subtypes of estrogen receptors (ER.alpha. and ER.beta.), although in general they are

selective for ER.beta.. Approx. 45 invention compds. were prepd. and/or claimed individually. For instance, cyanation of 7-methoxy-1-tetralone with TMS-CN and dehydrogenation with Pd/C in p-cymene gave 7-methoxy-1-naphthonitrile. This compd. underwent O-demethylation with pyridine-HCl, and bromination in the 3-position by treatment with Br2 and then SnCl2. Arylation of the obtained 3-bromo-7-hydroxy-1-naphthonitrile using 3-fluoro-4-methoxyphenylboronic acid and Pd(PPh3)4 gave invention compd. II. In a test for binding to human recombinant estrogen receptors in vitro, II bound to ER.alpha. and ER.beta. with IC50 values of 0.208 nM and 0.0028 nM, resp. Compds. I also showed ER.beta. activity by upregulation of metallothionein II mRNA levels in Saos-2 cells. In rat and mouse uterotrophic tests, II gave approx. 10% increase in mean uterine wt., vs. over 400% increase for either 17.alpha.-ethinyl-17.beta.-estradiol or 17.beta.-estradiol.

IT **550997-53-0P**, 8-Fluoro-6-(3-fluoro-4-hydroxyphenyl)-2-naphthol **550997-54-1P**, 1-Chloro-8-fluoro-6-(3-fluoro-4-hydroxyphenyl)-2-naphthol **550997-55-2P**, 3-(3-Fluoro-4-hydroxyphenyl)-7-hydroxy-1-naphthonitrile **550997-57-4P**, 3-(3,5-Difluoro-4-hydroxyphenyl)-7-hydroxy-1-naphthonitrile **550997-59-6P**, 1-Chloro-6-(3-fluoro-4-hydroxyphenyl)-2-naphthol **550997-60-9P**, 1-Chloro-6-(2-fluoro-4-hydroxyphenyl)-2-naphthol **550997-61-0P**, 1-Chloro-6-(2,5-difluoro-4-hydroxyphenyl)-2-naphthol **550997-62-1P**, 1-Chloro-6-(2,6-difluoro-4-hydroxyphenyl)-2-naphthol **550997-65-4P**, 1-Chloro-6-(3,5-difluoro-4-hydroxyphenyl)-2-naphthol **550997-67-6P**, 8-Chloro-3-(3-fluoro-4-hydroxyphenyl)-7-hydroxy-1-naphthonitrile
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of substituted phenylnaphthalenes as estrogenic agents)

RN 550997-53-0 CAPLUS
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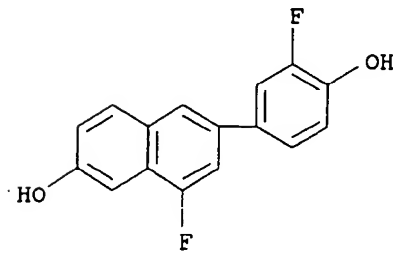

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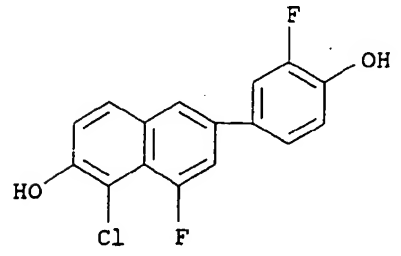

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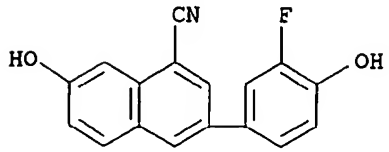

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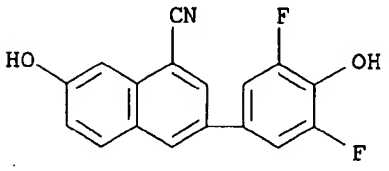

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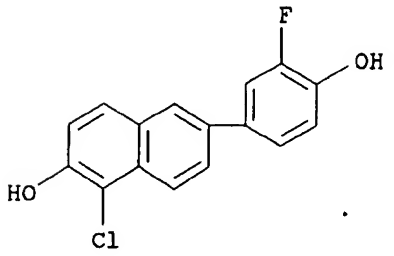

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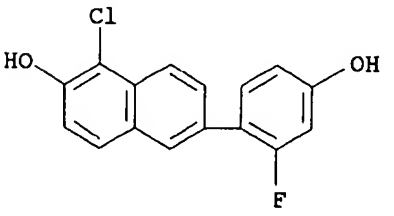

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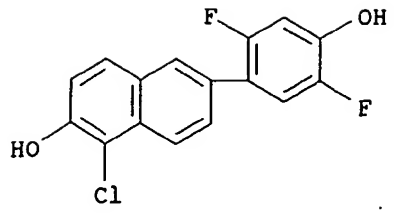

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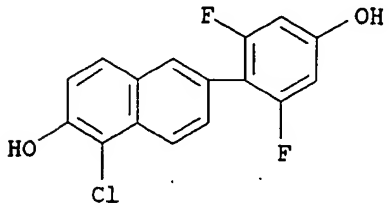

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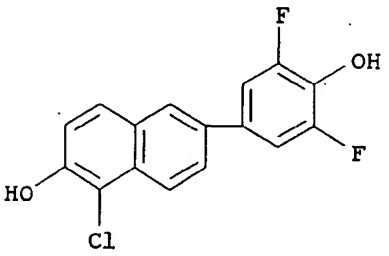

RN 550997-67-6 CAPLUS
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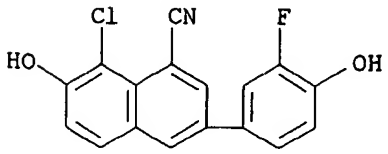

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:435020 CAPLUS
DN 135:19815
TI Preparation of anti-estrogen compounds having hydroxycarbonyl-halogenoalkyl side chain
IN Jo, Jaechon; Kwon, Heean; Lim, Hyunsuk; Choi, Jaeyoung; Morikawa, Kazumi; Kanbe, Yoshitake; Nishimoto, Masahiro; Kim, Myunghwa; Nishimura, Yoshikazu
PA C & C Research Laboratories, S. Korea
SO PCT Int. Appl., 139 pp.
CODEN: PIXXD2

DT Patent
LA Japanese
FAN.CNT 1

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	JP 2003040834	A2	20030213	JP 2002-199200	20001213
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	EP 1361205	A1	20031112	EP 2003-11080	20001213
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	NO 2002002783	A	20020813	NO 2002-2783	20020611
	US 2003114524	A1	20030619	US 2002-149752	20020613
	US 2003130347	A1	20030710	US 2002-281990	20021029
PRAI	JP 1999-353640	A	19991213		
	JP 2000-100567	A	20000403		
	JP 2000-186684	A	20000621		
	JP 2000-232091	A	20000731		
	JP 2000-357793	A	20001124		
	EP 2000-981681	A3	20001213		
	JP 2001-543488	A3	20001213		
	WO 2000-JP8810	W	20001213		
	US 2002-149752	A3	20020613		

OS MARPAT 135:19815
GI

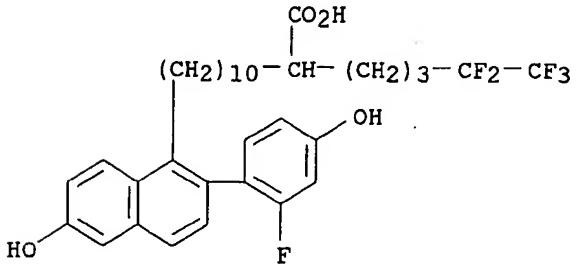
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. in which either a compd. having reduced oral activity or a group having a framework thereof is chem. bonded to a group represented by the general formula (CH2)mCH(CO2R1)(CH2)nR2 (wherein R1 represents hydrogen, metal forming a salt; R2 represents linear or branched C1-7 halogenoalkyl; m is an integer of 2 to 14; and n is an integer of 2 to 7), optical isomers of the compds.; or hydrates or pharmacol. acceptable salts of these compds. are prepd. When imparted to a framework of, e.g., estradiol Q or Q1, 2-(p-hydroxyphenyl)-6-naphthol Q2, or 2-(4-hydroxyphenyl)-2-(4-hydroxybenzoyl)-6-hydroxybenzo[b]thiophene Q3, etc., a compd. having anti-estrogen activity, those compds. represented by formula A-(CH2)mCH(CO2R1)(CH2)nR2 (A = Q, Q1, Q2, Q3, etc.), a compd. having anti-estrogen activity, those can have significantly improved oral activity. The compds. are hence useful as antitumor agents, in particular for the treatment of breast cancer. Thus, cross-metathesis of 3-methoxy-7.alpha.-(2-propenyl)estra-1,3,5(10)-trien-17.beta.-ol with

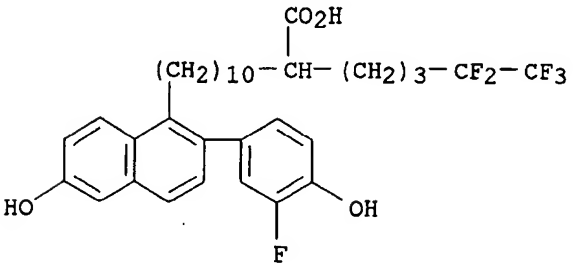
(4R,5S)-3,4-dimethyl-1-[(2S)-2-(4,4,5,5,6,6,7,7,7-nonafluoroheptyl)-8-nonenoyl]-5-phenylimidazolidin-2-one in the presence of Grubbs' catalyst followed by hydrogenation oxidative hydrolysis, and demethylation gave (2S)-10-(3,17.beta.-dihydroxyestra-1,3,5(10)-trien-7.alpha.-yl)-2-(4,4,5,5,6,6,7,7,7-nonafluoroheptyl)decanoic acid (I). I at 10 mg/kg p.o. per day for 3 days inhibited by 100% the 17.beta.-estradiol benzoate-stimulated increase in the uterus wt. in mice.

IT **342899-08-5P 342899-10-9P 342899-11-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of anti-estrogen compds. having hydroxycarbonyl-haloalkyl side chain as antitumor agents for treatment of breast cancer with improved oral activity)

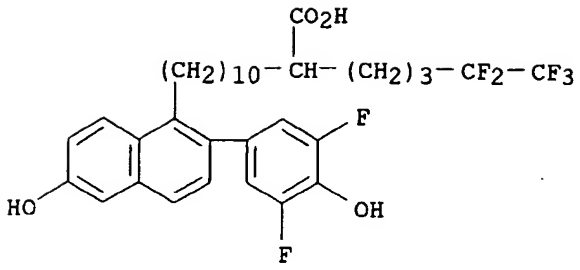
RN 342899-08-5 CAPLUS
CN 1-Naphthalenedodecanoic acid, 2-(2-fluoro-4-hydroxyphenyl)-6-hydroxy-.alpha.-(4,4,5,5,5-pentafluoropentyl)- (9CI) (CA INDEX NAME)



RN 342899-10-9 CAPLUS
CN 1-Naphthalenedodecanoic acid, 2-(3-fluoro-4-hydroxyphenyl)-6-hydroxy-.alpha.-(4,4,5,5,5-pentafluoropentyl)- (9CI) (CA INDEX NAME)



RN 342899-11-0 CAPLUS
CN 1-Naphthalenedodecanoic acid, 2-(3,5-difluoro-4-hydroxyphenyl)-6-hydroxy-.alpha.-(4,4,5,5,5-pentafluoropentyl)- (9CI) (CA INDEX NAME)

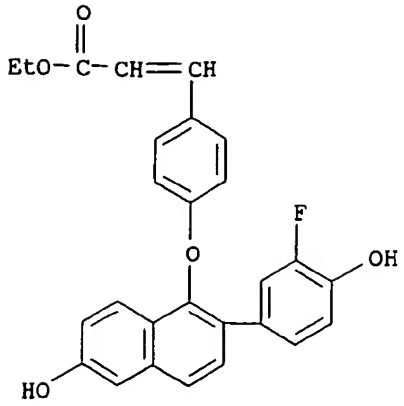


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

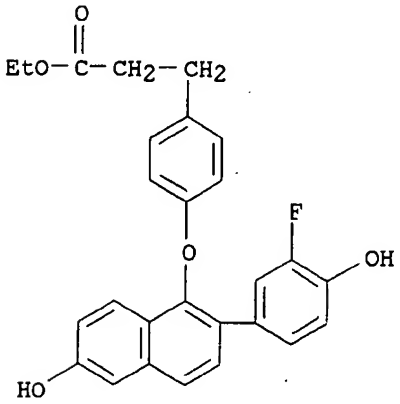
L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:417369 CAPLUS
DN 131:87720
TI Preparation of 4-(naphthyloxy)phenylpropenoates and analogs as estrogen receptor ligands
IN Hauser, Kenneth Lee; Palkowitz, Alan David
PA Eli Lilly and Company, USA
SO U.S., 20 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5916916	A	19990629	US 1997-939575	19970929
	CA 2217571	AA	19980410	CA 1997-2217571	19971007
	JP 10204028	A2	19980804	JP 1997-278922	19971013
PRAI	US 1996-27686P	P	19961010		

OS MARPAT 131:87720
AB 4-(R4Z1Z2)C6H4OZR [I; R = (un)substituted Ph; R4 = OH, alkoxy, piperidino, etc.; Z = 6-(un)substituted 1,2-naphthylene; Z1 = bond or CO; Z2 = alkylene, CH:CH, CH2CH:CH, CH2CH2CH:CH] were prepd. for treatment of, e.g., bone resorption. Thus, HO2CCH2C6H4(OMe)-4 was alkylated by 3-(MeO)C6H4CH2CH2Br and the cyclized product dehydrogenated to give R1OZC6H4(OMe)-4 (Z = 6-methoxy-1,2-naphthylene)(II; R1 = H) which was etherified by 4-FC6H4CHO and the product condensed with (EtO)2P(O)CH2CO2Et to give II [R1 = 4-(EtO2CCH:CH)C6H4]. Data for biol. activity of I were given.
IT **205862-93-7P 205863-21-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4-(naphthyloxy)phenylpropenoates and analogs as estrogen receptor ligands)
RN 205862-93-7 CAPLUS
CN 2-Propenoic acid, 3-[4-[[2-(3-fluoro-4-hydroxyphenyl)-6-hydroxy-1-naphthalenyl]oxy]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 205863-21-4 CAPLUS
CN Benzenepropanoic acid, 4-[[2-(3-fluoro-4-hydroxyphenyl)-6-hydroxy-1-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

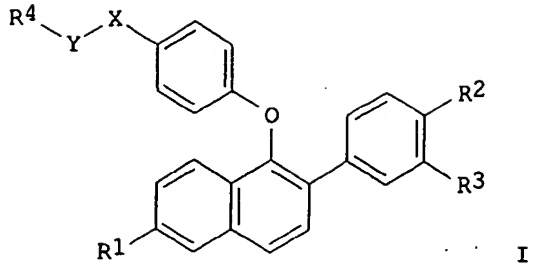


RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:265725 CAPLUS
DN 128:282705
TI 1-Aryloxy-2-arylnaphthyl compounds, intermediates, compositions, and methods
IN Hauser, Kenneth Lee; Palkowitz, Alan David
PA Eli Lilly and Co., USA
SO Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 835867	A1	19980415	EP 1997-307994	19971009
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	CA 2217571	AA	19980410	CA 1997-2217571	19971007
	JP 10204028	A2	19980804	JP 1997-278922	19971013
PRAI	US 1996-27686P	P	19961010		

OS MARPAT 128:282705
GI



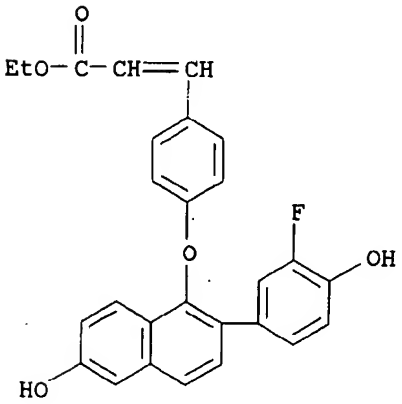
I

AB Compds. I [R1 = H, OH, C1-4 alkoxy, etc.; R2, R3 = H, Cl, C2-7 alkoxycarbonyl, etc.; R4 = OH, 1-piperidinyl, 1-pyrrolidinyl, dimethylamino, C1-6 alkoxy, C4-6 cycloalkoxy, aryloxy, etc.; X= CH:CH, CH2CH:CH, (CH)2CH:CH; Y being absent, CO, with the proviso that when Y is absent, R4 may not be OH, C1-6 alkoxy, C4-6 cycloalkoxy or aryloxy] or a pharmaceutically acceptable salt thereof, are prepd. The compds. are selective estrogen receptor modulators (SERM) and are useful in the treatment of pathol. conditions assocd. with estrogen deprivation or the abnormal response to endogenous estrogen. Thus, reacting 1-(4-formylphenoxy)-2-(4-methoxyphenyl)-6-methoxynaphthalene with triethylphosphonoacetate gave 3-[4-(2-(4-methoxyphenyl)-6-methoxynaphth-1-yloxy)phenyl]propenoic acid Et ester.

IT **205862-93-7P 205863-21-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(1-aryloxy-2-arylnaphthyl compd. pharmaceutical compns. for treatment of estrogen-dependent pathol. conditions)

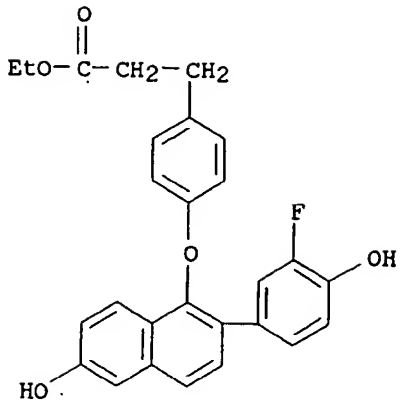
RN 205862-93-7 CAPLUS

CN 2-Propenoic acid, 3-[4-[[2-(3-fluoro-4-hydroxyphenyl)-6-hydroxy-1-naphthalenyl]oxy]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 205863-21-4 CAPLUS

CN Benzenepropanoic acid, 4-[[2-(3-fluoro-4-hydroxyphenyl)-6-hydroxy-1-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT